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NEWS 15 MAY 28 CAS databases on STN enhanced with NANO super role in records back to 1992
NEWS 16 JUN 01 CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS 17 JUN 26 NUTRACEUT and PHARMAML no longer updated
NEWS 18 JUN 29 IMSCOPROFILE now reloaded monthly
NEWS 19 JUN 29 EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS 20 JUL 09 PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 21 JUL 14 USGENE enhances coverage of patent sequence location (PSL) data
NEWS 22 JUL 14 CA/CAplus to be enhanced with new citing references features
NEWS 23 JUL 16 GBFULL adds patent backfile data to 1855

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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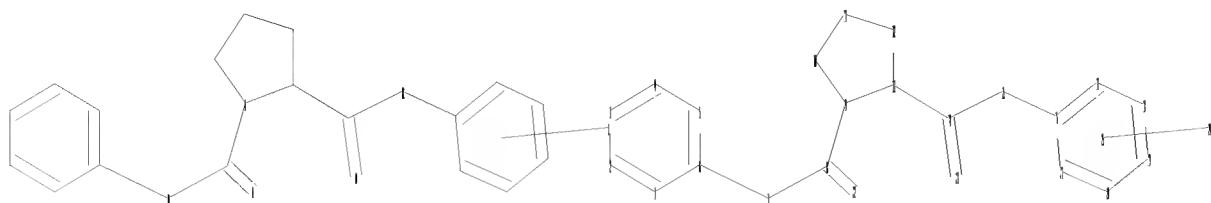
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=> Uploading C:\Program Files\Stnexp\Queries\105830942.str

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chain nodes :

7 8 14 15 22 23 24

ring nodes :

1 2 3 4 5 6 9 10 11 12 13 16 17 18 19 20 21

chain bonds :

6-7 7-8 8-9 8-22 13-14 14-15 14-23 15-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13 16-17 16-21 17-18

18-19 19-20 20-21

exact/norm bonds :

6-7 7-8 8-9 8-22 9-10 9-13 14-15 14-23 15-16

exact bonds :

10-11 11-12 12-13 13-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 : 9 : 16 :

Match level :

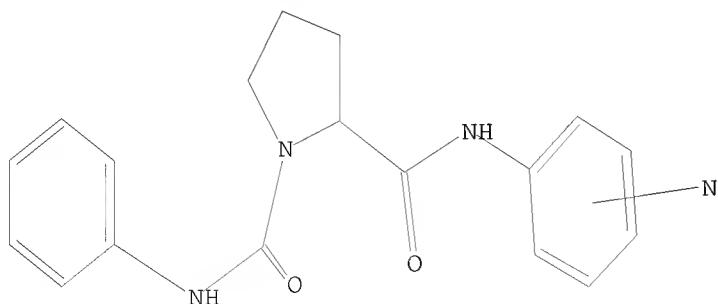
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11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:CLASS 23:CLASS 24:CLASS 25:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



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Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 08:23:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 269 TO ITERATE

100.0% PROCESSED 269 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4396 TO 6364
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s 11 full
FULL SEARCH INITIATED 08:24:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4965 TO ITERATE

100.0% PROCESSED 4965 ITERATIONS 58 ANSWERS
SEARCH TIME: 00.00.01

L3 58 SEA SSS FUL L1

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ENTRY SESSION
FULL ESTIMATED COST 185.88 186.10

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FILE LAST UPDATED: 19 Jul 2009 (20090719/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

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<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases will soon be updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 22.

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=> s l3  
L4          2 L3  
  
=> d ibib abs hitstr tot
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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:564637 CAPLUS
 DOCUMENT NUMBER: 143:97636
 TITLE: Synthesis of prolinylarylacetamides as coagulation factor Xa inhibitors for use in the prevention or treatment of thromboembolic diseases or tumors
 INVENTOR(S): Mederski, Werner; Tsaklakidis, Christos; Dorsch, Dieter; Cezanne, Bertrand; Gleitz, Johannes
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|------------------|------------------|----------|
| WO 200506130 | A1 | 200506130 | WO 2004-EPI3509 | 20041126 |
| WI AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KW, LC, LK, LR, LS, LT, LU, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NG, OM, PG, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TW, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, BW, CH, GA, KE, LS, MW, ME, SD, SL, SZ, TZ, OG, ZR, ZW, AM, AZ, BY, EG, KG, KZ, MD, RU, TZ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10358814 | A1 | 20050721 | DE 2003-10358814 | 20031216 |
| AU 200429197 | A1 | 20050630 | AU 2004-399197 | 20041126 |
| CA 2549589 | A1 | 20050630 | CA 2004-2549589 | 20041126 |
| EP 1697318 | A1 | 20060906 | EP 2004-820404 | 20041126 |
| EP 1697318 | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | 20060827 | | |
| CN 1894210 | A | 20070110 | CN 2004-80037698 | 20041126 |
| BR 2004017630 | A | 20070327 | BR 2004-17630 | 20041126 |
| JP 2007513988 | T | 20070531 | JP 2006-544256 | 20041126 |
| AT 406350 | T | 20080915 | AT 2004-820404 | 20041126 |
| ES 2310772 | T3 | 20090116 | ES 2004-820404 | 20041126 |
| IN 2006KN01579 | A | 20070504 | IN 2006-KN1579 | 20060608 |
| MX 2006006740 | A | 20060818 | MX 2006-6740 | 20060614 |
| KR 2006113729 | A | 20061102 | KR 2006-711704 | 20060614 |
| US 20070185189 | A1 | 20070809 | US 2006-583094 | 20060615 |
| ZA 2006005841 | A | 20071031 | ZA 2006-5841 | 20060714 |
| PRIORITY APFLN. INFO.: | | DE 2003-10358814 | A | 20031216 |
| OTHER SOURCE(S): | MARPAT 143:97636 | WO 2004-EPI3509 | W | 20041126 |
| GI | | | | |

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

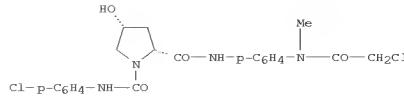
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 855855-34-4P 855855-35-5P 855855-36-6P
 855855-37-7P 855855-38-8P 855855-39-9P
 855855-40-2P 855855-41-3P
 RL: SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES (Uses),
 (Preparation of prolinylarylacetamides as coagulation factor Xa
 inhibitors
 for prevention or treatment of thromboembolic diseases or tumors)
 RN 855855-20-8 CAPLUS
 CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(2-
 (dimethylamino)acetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX
 NAME)

Absolute stereochemistry.

RN 855855-21-9 CAPLUS
 CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[(2-
 (butylmethylamino)acetyl)methylamino]phenyl]-N1-(4-chlorophenyl)-4-hydroxy-
 , (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



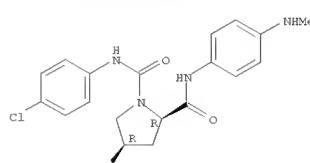
AB Title compds., e.g., (I), are claimed as inhibitors of coagulation factor Xa and are claimed for use for the prophylaxis and/or therapy of thromboembolic diseases and in the treatment of tumors, as well as kits containing the compds. of interest. Thus, the title compds. were prepared,

e.g., by condensation of (2R,4R)-1-(4-chlorophenylcarbamoyl)-4-hydroxypyrrolidine and N-(3-dimethylaminopropyl)-N'-ethyl-carbodiimide hydrochloride as condensing agent. In pharmacol. testing, I had receptor affinity IC50 values of 17.0 nM and 25.0 M using FXa and TF/FVIIa receptors, resp. (no details given). Various formulations for administering the title compds. therapeutically are given.

IT 855855-29-7P 855855-32-2P
 RL: KCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of prolinylarylacetamides as coagulation factor Xa
 inhibitors
 for prevention or treatment of thromboembolic diseases or tumors)

RN 855855-29-7 CAPLUS
 CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-(
 methylamino)phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

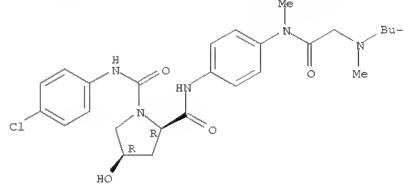


RN 855855-32-2 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[(2-chloroacetyl)methylamino]phenyl]-
 N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

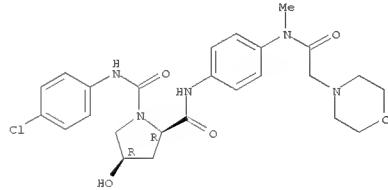
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 855855-22-0 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-
 [methyl(2-(4-morpholinyl)acetyl)amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

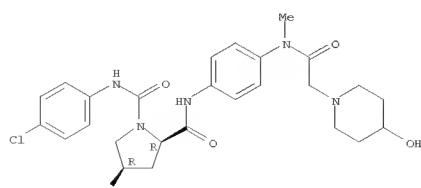
Absolute stereochemistry.



RN 855855-23-1 CAPLUS

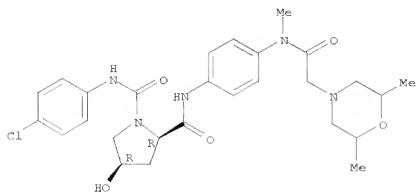
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[(2-(4-
 hydroxy-1-piperidinyl)acetyl)methylamino]phenyl]-, (2R,4R)- (CA INDEX
 NAME)

Absolute stereochemistry.



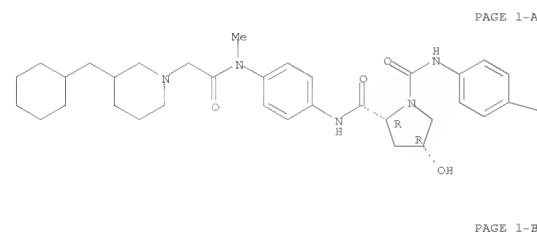
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 855855-24-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(2,6-dimethyl-4-morpholinyl)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-25-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(3-(cyclohexyimethyl)-1-piperidinyl)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



—Cl

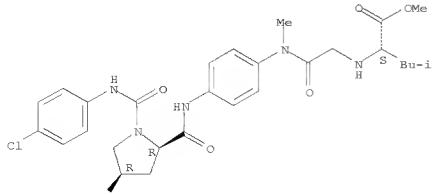
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 855855-30-0 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[(2-(acetoxy)acetyl)methylamino]phenyl]-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 855855-31-1 CAPLUS
CN L-Leucine, N-[2-[(4-[(2R,4R)-1-[(4-chlorophenyl)amino]carbonyl]-4-hydroxy-2-pyrrolidinyl]carbonyl]amino]phenyl]-2-oxoethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

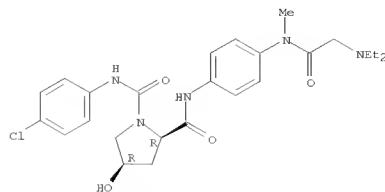


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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

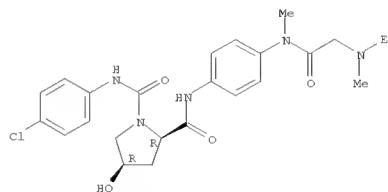
RN 855855-26-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(2-(diethylamino)acetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-27-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(2-(ethylamino)acetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



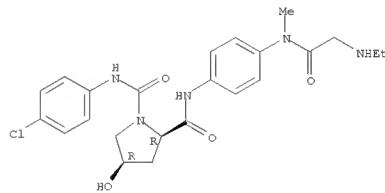
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Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

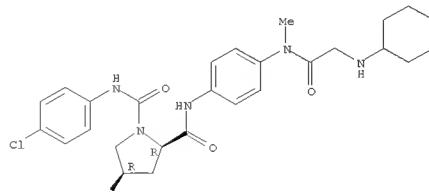
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CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(2-(ethylamino)acetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



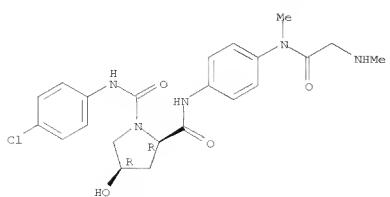
RN 855855-34-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(2-(cyclohexylamino)acetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



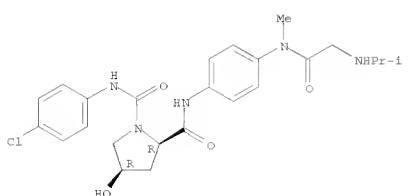
RN 855855-35-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[(2-methylamino)acetyl]amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



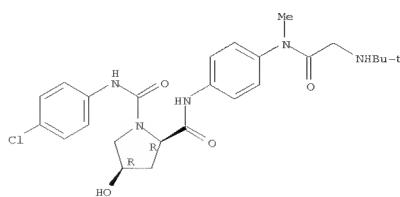
RN 855855-36-6 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-(methyl[2-(1-methylethyl)amino]acetyl)amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



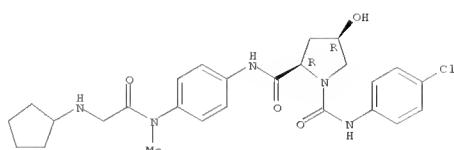
RN 855855-37-7 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[2-(1,1-dimethylethyl)amino]acetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



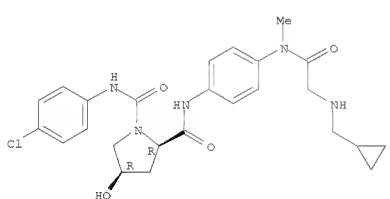
RN 855855-39-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[2-(cyclopentylamino)acetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



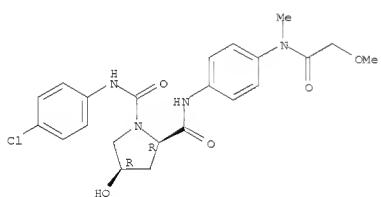
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Absolute stereochemistry.



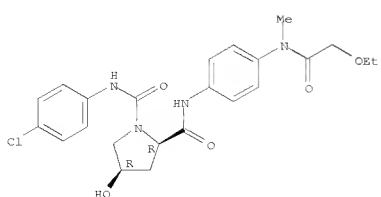
RN 855855-40-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-(2-methoxyacetyl)methylamino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



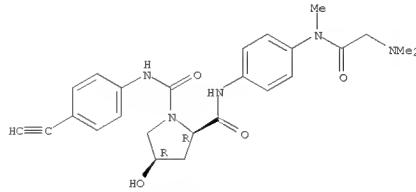
RN 855855-41-3 CAPLUS
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Absolute stereochemistry.



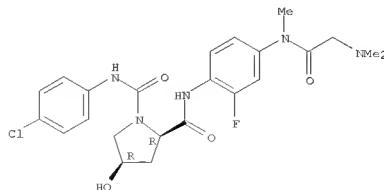
IT 855855-42-4 855855-43-5 855855-45-7
855855-46-8 855855-47-9 855855-48-0
855855-49-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of prolinylaryacetamides as coagulation factor Xa inhibitors
for prevention or treatment of thromboembolic diseases or tumors)
RN 855855-42-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[2-(dimethylamino)acetyl)methylamino]phenyl]-N1-(4-ethynylphenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-43-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[2-(dimethylamino)acetyl)methylamino]-2-fluorophenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

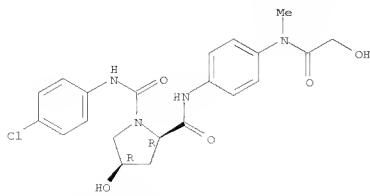


RN 855855-45-7 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-(2-hydroxyacetyl)methylamino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

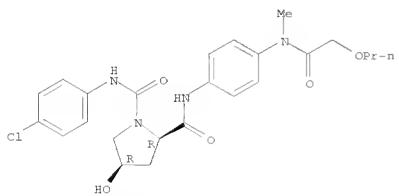
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)



RN 855855-46-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-(methyl(2-propoxycetyl)amino)phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

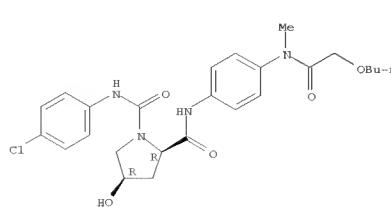


RN 855855-47-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-(2-butoxyacetyl)methylamino]phenyl-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

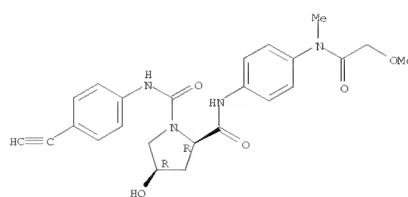
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

(Continued)



RN 855855-49-0 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-ethynylphenyl)-4-hydroxy-N2-[4-(2-methoxyacetyl)methylamino]phenyl]-, (2R,4R)- (CA INDEX NAME)

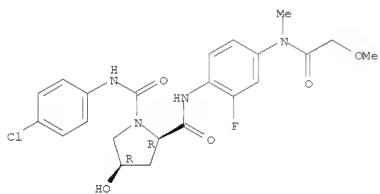
Absolute stereochemistry.



RN 855855-49-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[2-fluoro-4-(2-methoxyacetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:434520 CAPLUS

DOCUMENT NUMBER: 139:6763

TITLE: Preparation of pyrrolidinedicarboxamides and related compounds as inhibitors of factor Xa useful for thrombotic disorders

INVENTOR(S): Biggs, Christopher Franklin; Dudley, Danette Andrea; Edmunds, Jeremy John; Van Huis, Chad Alan; Casimiro-Garcia, Agustin; Filipski, Kevin James; Kohrt, Jeffrey Thomas

PATENT ASSIGNEE(S): Warner Lambert Company L.L.C., USA

SOURCE: PCT Int. Appl., 389 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

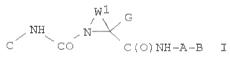
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2003045912 | A1 | 20030605 | WO 2002-IB4757 | 20021114 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KE, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NC, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MF, NE, SN, TD, TG | | | | |
| US 20030162787 | A1 | 20030828 | US 2002-278643 | 20021023 |
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| AU 2002365313 | B2 | 20080306 | | |
| BR 2002014519 | A | 20040103 | BR 2002-14519 | 20021114 |
| EP 1465864 | A1 | 20040103 | EP 2002-803885 | 20021114 |
| EP 1465864 | B1 | 20060315 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1582274 | A | 20050216 | CN 2002-823837 | 20021114 |
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| R: AT, BE, CH, DE, DK, ES, FR, GB, GE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| ES 2259113 | T3 | 20060916 | ES 2002-803885 | 20021114 |
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L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
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 PRIORITY APPLN. INFO.: US 2001-334168P P 20011129

OTHER SOURCE(S): MARPAT 139:6763
 GI



AB The present invention provides pyrrolidinedicarboxamides and related compds. (shown as I, variables defined below, e.g. (R)-pyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide]) and pharmaceutically acceptable salt thereof, that are useful to treat thrombotic disorders. Also disclosed are pharmaceutical compns. comprising ≥ 1 compds. I, processes for preparing I, and intermediates useful for preparing I.

IC50 values for inhibition of factor Xa are tabulated for >170 examples of I. About 180 example preps. of I are included. For example, (R)-pyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide] was prepared in 4 steps starting from Fmoc-D-Pro, SC12, and 4-bromo-2-fluorobiphenyl and involving intermediates (R)-2-[(4-bromo-2-fluorophenyl)carbamoyl]pyrrolidine-1-carboxylic acid 9H-fluoren-9-ylmethylester, (R)-pyrrolidine-2-carboxylic acid (2'-tert-butylsulfamoyl-3-fluorobiphenyl-4-yl)amide, and (R)-pyrrolidine-1,2-dicarboxylic acid 2-[(2'-tert-butylsulfamoyl-3-fluorobiphenyl-4-yl)amide] 1-[(4-chlorophenyl)amide] with yields of 99, 70, 66 and 76%, resp. Four pharmaceutical formulations are described. For I: A is (un)substituted

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
 aryl or (un)substituted monocyclic heteroaryl; B is -NHC(O)(C1-C6)alkyl, -NHC(O)(C3-C7)cycloalkyl, -NHC(O)(C1-C6)alkyl, -C(O)R1, (C3-C7)cycloalkyl, (C3-C7)heterocycle, (C4-C7)cycloalkenyl, unsatd. (C4-C7)heterocyclo, aryl, or heteroaryl, any of which may be (un)substituted by halo, (C1-C6)alkyl, or halo(C1-C6)alkyl, O(C1-C6),

-CN, haloalkyl, amino, alkylamino, amidino, amide, or sulfonamido. C is Ph or heteroaryl, wherein Ph or heteroaryl is (un)substituted with ≥ 1 substituent = aryl, heteroaryl, halogen, hydroxy, -CO2R2, -COR2, -CONHR2, alkoxy, alkyl, -CN, haloalkyl, amino, alkylamino, amidino, amide, or sulfonamido; G is H, halo, (C1-C6)alkyl, halo(C1-C6)alkyl, hydroxy-(C1-C6)alkyl, -CH2O(C1-C6)alkyl, -CH2CO2(C1-C6)alkyl, -CH2NR2R2', or -CH2C(O)NH-(C1-C6)alkyl. W1 is a satd. or unsatd., (un)substituted hydrocarbon chain or hydrocarbon-heteroatom chain having 2-6 atoms, wherein W1 connects the N atom at position 1 to the C atom at position 2 to form a four to eight membered ring; R1 is (C1-C6)alkoxy, (C3-C7)cycloalkyl, (C3-C7)heterocycloalkyl, (C4-C7)cycloalkenyl, (C4-C7)heterocycloalkenyl, aryl, monocyclic heteroaryl, or -NR3R4; R2 and R2' are each independently R or (C1-C6)alkyl; and R3 and R4 are each independently H, (C1-C6)alkyl, aralkyl, aryl, monocyclic heteroaryl, alkoxycarbonyl, aralkoxycarbonyl, -SO2alkyl, or joined together to form a satd. or unsatd. to 7 membered ring.

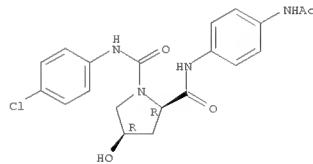
IT 536747-34-9P, (2R,4R)-4-Hydroxypyrrolidine-1,2-dicarboxylic acid 2-[(4-acetylaminophenyl)amidc]-1-[(4-chlorophenyl)amide]

536747-35-0P, (2R,4R)-4-Hydroxypyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide]
 2-[(4-(cyclopentanecarbonyl)amino)phenyl]amidc]-1-[(4-chlorophenyl)amide]

(Uses) (drug candidate; preparation of pyrrolidinedicarboxamides and related compds. as inhibitors of factor Xa useful for thrombotic disorders)

RN 536747-34-9 CAplus
 CN 1,2-Pyrrolidinedicarboxamide, N2-[4-(acetylamo)phenyl]-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

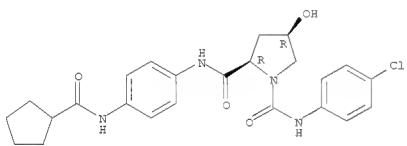
Absolute stereochemistry.



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

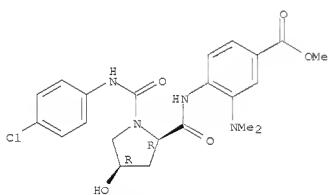
RN 536747-35-0 CAPLUS
 CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(cyclopentylcarbonyl)amino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



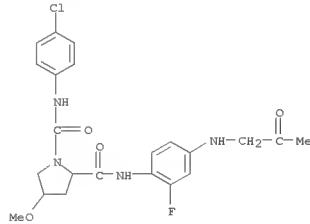
RN 536748-23-9 CAPLUS
 CN Benzoic acid,
 4-[[[(2R,4R)-1-[(4-chlorophenyl)amino]carbonyl]-4-hydroxy-2-pyrrolidinyl]carbonyl]amino]-3-(dimethylamino)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 536750-24-0 CAPLUS
 CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[2-fluoro-4-[(2-oxopropyl)amino]phenyl]-4-methoxy- (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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